

## PATENT COOPERATION TREATY

## PCT



## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

|  |   |   |                       |
|--|---|---|-----------------------|
| Applicant's or agent's file reference<br>PH-21656-PCT  | <b>FOR FURTHER ACTION</b>   |   | See Form PCT/IPEA/416 |
| International application No.<br><b>PCT/KR2004/000536</b>  | International filing date( <i>day/month/year</i> )<br><b>13 MARCH 2004 (13.03.2004)</b> | Priority date ( <i>day/month/year</i> ) |                       |
| International Patent Classification (IPC) or national classification and IPC<br><br><b>C07D 487/22(2006.01)i</b> |   |   |                       |
| Applicant<br><br><b>POSTECH FOUNDATION et al</b>   |   |   |                       |

|   |  |
|---|--|
| <p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <u>5</u> sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:</p> <p style="margin-left: 40px;"><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p style="margin-left: 40px;"><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____ containing a sequence listing and/or tables related thereto, in electronic form only, as indicated in the Supplemental Box relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p> |  |
| <p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the report</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>   |  |

|  |   |
|--|---|
| Date of submission of the demand<br><br><b>11 OCTOBER 2005 (11.10.2005)</b>  | Date of completion of this report<br><br>19 JUNE 2006 (19.06.2006)  |
| Name and mailing address of the IPEA/KR<br> Korean Intellectual Property Office<br>920 Dunsan-dong, Seo-gu, Daejeon 302-701,<br>Republic of Korea<br>Facsimile No. 82-42-472-7140 | Authorized officer<br><br>JUNG, YOUNG JA<br><br>Telephone No. 82-42-481-8164 |

## Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☒ This report is based on translations from the original language into the following language English which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
- ☒ publication of the international application (under Rule 12.4)
- ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:
- ☒ the international application as originally filed/furnished
- ☐ the description:
- pages \_\_\_\_\_ as originally filed/furnished
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the claims:
- pages \_\_\_\_\_ as originally filed/furnished
- pages\* \_\_\_\_\_ as amended (together with any statement) under Article 19
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the drawings:
- pages \_\_\_\_\_ as originally filed/furnished
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets \_\_\_\_\_
- ☐ the sequence listing (*specify*): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets \_\_\_\_\_
- ☐ the sequence listing (*specify*): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement****1. Statement**

|                               |        |      |     |
|-------------------------------|--------|------|-----|
| Novelty (N)                   | Claims | 1-13 | YES |
|                               | Claims |      | NO  |
| Inventive step (IS)           | Claims |      | YES |
|                               | Claims | 1-13 | NO  |
| Industrial applicability (IA) | Claims | 1-13 | YES |
|                               | Claims |      | NO  |

**2. Citations and explanations (Rule 70.7)**

The present invention relates to a disubstituted cucurbituril represented by the following Formula 1 and a method for preparing the same Formula 1, comprising the step of reacting a disubstituted glycoluril represented by Formula 2 and a glycoluril represented by Formula 3 with ormaldehyde.

**1. Prior Art**

The following documents from the PCT International Search Report have been considered for the purpose of this report:

(D1) WO 0068232 A1 (UNISEARCH LIMITED) 16 NOVEMBER 2000 (16.11.2000)

(D2) WO 03055888 A1 (POSTECH FOUNDATION) 10 JULY 2003 (10.07.2003),

(D3) WO 03004500A1 (POSTECH FOUNDATION) 16 JANUARY 2003 (16.01.2003)

D1 discloses a method for preparing cucurbit[n]urils and cucurbit[s,u]urils and a method of separating cucurbit[n]urils and/or cucurbit[s,u]urils.

D2 relates to a hydroxycucurbituril and derivatives thereof which are expressed by the formula 1, wherein A1 and A2 are as defined in the specification; X is O, S or NH; and n is an integer of 4–20.

D3 discloses water and organic soluble cucurbituril derivatives, their preparation methods, their separation methods and uses.

**2. Novelty**

None of the prior art documents describe a method for preparing a disubstituted cucurbituril represented by Formula 1, comprising the step of reacting a disubstituted glycoluril represented by Formula 2 and a glycoluril represented by Formula 3 with formaldehyde. Therefore, the subject-matter of claims 1–13 can be regarded as novel under PCT Article 33(2).

(Continued in the Supplemental Box.)

**Supplemental Box**

In case the space in any of the preceding boxes is not sufficient.

Continuation of:

(Box No.V)

### 3. Inventive Step

The present invention provides a disubstituted cucurbit[m]uril where m is 5 to 8, represented by the following Formula 1 and a method for preparing a disubstituted cucurbituril represented by Formula 1, comprising the step of reacting a disubstituted glycoluril represented by Formula 2 and a glycoluril represented by Formula 3 with formaldehyde: wherein the disubstituted glycoluril of Formula 2 is prepared by reacting a 1,2-diketone derivative represented by Formula 4 with an urea represented by Formula 5 in the presence of an acid catalyst.

In view of D1, a skilled person in the art could think of a method for producing cucurbit[n]urils, where n is from 4 to 12, comprising the step of mixing substituted and unsubstituted glycoluril with an acid, and heating the mixture to a temperature of from 70 °C to 100°C to thereby form cucurbit[n]urils. Novel cucurbi[n]urils, where n = 5 to 10 and substituted cucurbit[s,u]urils, where s = number of substituted glycoluril units, u = number of unsubstituted units and s + u = 4 to 12.

According to D2, a skilled person in the art could expect a hydroxycucurbituril derivative represented by formula 13 which can be prepared by reacting hydroxycucurbituril derivative represented by formula 8 with Cl-C30 alkyl halide in the presence of a base.

The skilled person having knowledge of the teaching of D3 would have been able to predict that a method for preparing a glycoluril derivative having the formula (2), the method comprising the steps of: adding an aqueous acidic solution or an acid-containing organic solvent to a mixture of a urea derivative (B) and a cyclodione compound (C) for reaction, mixing and stirring a compound having the formula (2) and an aldehyde compound having the formula (A), and adding acid to the reaction mixture and stirring to complete the reaction.

**Supplemental Box**

In case the space in any of the preceding boxes is not sufficient.  
Continuation of:

(Box No.V)

Furthermore, the present invention does not show a surprising effect over the inventions of the prior art documents.

Therefore, the present invention is considered to be a simple combination of the inventions of the prior art documents D1 – D3, and consequently an inventive step cannot be acknowledged for the subject matter of claims 1 to 13 (Article 33(3) PCT).

**4. Industrial Applicability**

The subject-matter of claims 1 to 13 is considered to be industrially applicable under PCT Article 33(4).